

FILE 'REGISTRY' ENTERED AT 15:58:07 ON 01 JUN 2009
L1 STRUCTURE uploaded
L2 0 S L1
L3 STRUCTURE uploaded
L4 0 S L3
L5 23 S L3 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:00:15 ON 01 JUN 2009
L6 22 S L5

FILE 'REGISTRY' ENTERED AT 16:22:07 ON 01 JUN 2009
L7 STRUCTURE uploaded
L8 0 S L7
L9 0 S L7 SUB=L5 FULL

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=> file registry
COST IN U.S. DOLLARS
SINCE FILE      TOTAL
ENTRY          SESSION
0.22          0.22
FULL ESTIMATED COST
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FILE 'REGISTRY' ENTERED AT 15:58:07 ON 01 JUN 2009
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 31 MAY 2009 HIGHEST RN 1151391-70-6
DICTIONARY FILE UPDATES: 31 MAY 2009 HIGHEST RN 1151391-70-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

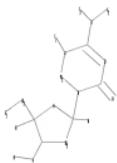
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

```
=>
Uploading C:\Program Files\STNEXP\Queries\10670915amended.str
```



chain nodes :
12 13 14 16 17 19 20 22 26 27 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-14 2-12 2-27 4-6 4-29 8-26 9-17 11-13 12-16 14-28 17-19 17-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 1-14 2-3 3-4 4-5 4-6 6-7 6-11 7-8 8-9 8-26 9-10 9-17 10-11
11-13 12-16 17-19 17-20
exact bonds :
2-12 2-27 4-29 14-28

```
G2:H,Ak
G3:H,[*1]

Connectivity :
22:1 X maximum RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS
22:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS
Generic attributes :
22:
Saturation           : Saturated
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L1      STRUCTURE UPLOADED
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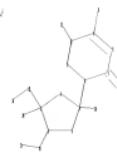
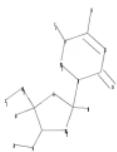
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=> s 11
SAMPLE SEARCH INITIATED 15:58:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1908 TO ITERATE
```

```
100.0% PROCESSED      1908 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      35540 TO      40780
PROJECTED ANSWERS:          0 TO      0
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```
L2      0 SEA SSS SAM L1
```

```
=>
Uploading C:\Program Files\STNEXP\Queries\10670915amended2.str
```



```

chain nodes :
12 13 14 16 17 19 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-14 2-12 2-24 4-6 4-26 8-23 9-17 11-13 12-16 14-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 1-14 2-3 3-4 4-5 4-6 6-7 6-11 7-8 8-9 8-23 9-10 9-17 10-11
11-13 12-16
exact bonds :
2-12 2-24 4-26 14-25

```

G2:H,Ak

G3:H, [*1]

Connectivity :

19:1 X maximum RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 19:CLASS 23:CLASS
24:CLASS 25:CLASS

26:CLASS

Generic attributes :

19:

Saturation : Saturated

L3 STRUCTURE UPLOADED

=> s 13

SAMPLE SEARCH INITIATED 15:59:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1908 TO ITERATE

100.0% PROCESSED 1908 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 35540 TO 40780
PROJECTED ANSWERS: 0 TO 0

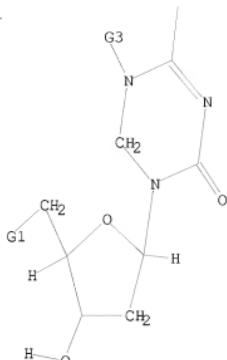
L4 0 SEA SSS SAM L3

=> d 13

L3 HAS NO ANSWERS

L3 STR

1
Ak



G1 H, P, Ak

G2 H, Ak

G3 H, [01]

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss full
FULL SEARCH INITIATED 15:59:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 39360 TO ITERATE

100.0% PROCESSED 39360 ITERATIONS
SEARCH TIME: 00.00.04

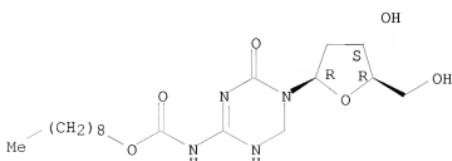
23 ANSWERS

L5 23 SEA SSS FUL L3

=> d 15 scan

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-
tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, nonyl ester (9CI)
MF C18 H32 N4 O6

Absolute stereochemistry.

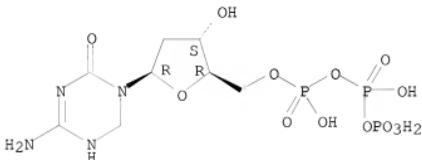


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-[hydroxy[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]- β -D-erythro-pentofuranosyl]-3,6-dihydro-
MF C8 H17 N4 O13 P3

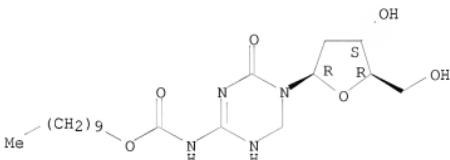
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, decyl ester (9CI)
MF C19 H34 N4 O6

Absolute stereochemistry.



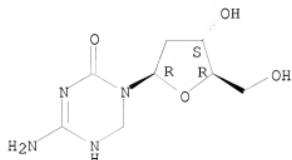
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro-, acetate (9CI)

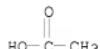
MF C8 H14 N4 O4 . x C2 H4 O2

CM 1

Absolute stereochemistry.



CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

| => file hcplus | SINCE FILE | TOTAL |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 187.32 | 187.54 |

FILE 'HCPLUS' ENTERED AT 16:00:15 ON 01 JUN 2009
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FILE COVERS 1907 - 1 Jun 2009 VOL 150 ISS 23
FILE LAST UPDATED: 31 May 2009 (20090531/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15
L6 22 L5

=> d 16 1-22 ti abs bib hitstr

L6 ANSWER 1 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
TI Epigenetic mechanisms re-establish access to long-term memory after neuronal loss
AB The invention relates to methods and products for enhancing and improving recovery of lost memories. In particular the methods are accomplished through the increase of histone acetylation. One aspect of the invention is the increase in histone acetylation through the administration of inhibitors of histone deacetylase (HDAC). In some embodiments the method comprises altering the methylation level of one or more genes. In some embodiments altering the methylation level of one or more genes comprises administering a DNA methylation inhibitor.

AN 2008:1457344 HCPLUS <>LOGINID::20090601>>

DN 150:28982

TI Epigenetic mechanisms re-establish access to long-term memory after neuronal loss

IN Tsai, Li-Huei; Fischer, Andre; Haggarty, Stephen; Tang, Weiping

PA Massachusetts Institute of Technology, USA; President and Fellows of Harvard College; The General Hospital Corporation

SO U.S. Pat. Appl. Publ., 64pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

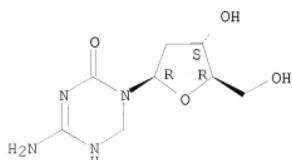
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-----------------|----------|
| PI US 20080300205 | A1 | 20081204 | US 2007-998834 | 20071130 |
| PRAI US 2006-861883P | P | 20061130 | | |
| OS MARPAT 150:28982 | | | | |
| IT 114522-16-6 | | | | |

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epigenetic mechanisms re-establish access to long-term memory after neuronal loss by increasing histone acetylation or inhibiting DNA methylation)

RN 114522-16-6 HCPLUS

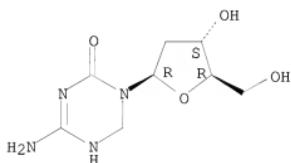
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



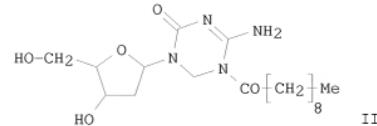
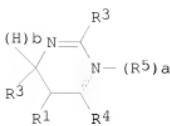
16 ANSWER 2 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
TI Therapeutically targeting RNA viruses via lethal mutagenesis
AB A review. RNA viruses exhibit increased mutation frequencies relative to other organisms. Recent work has attempted to exploit this unique feature by increasing the viral mutation frequency beyond an extinction threshold, an antiviral strategy known as lethal mutagenesis. A number of novel nucleoside analogs have been designed around this premise. Herein, we review the quasispecies nature of RNA viruses and survey the antiviral, biol. and biochem. characteristics of mutagenic nucleoside analogs, including clin.-used ribavirin. Biol. implications of modulating viral replication fidelity are discussed in the context of translating lethal mutagenesis into a clin.-useful antiviral strategy.
AN 2008:1291348 HCPLUS <>LOGINID::20090601>>
DN 150:388629
TI Therapeutically targeting RNA viruses via lethal mutagenesis
AU Graci, Jason D.; Cameron, Craig E.
CS PTC Therapeutics, Inc., South Plainfield, NJ, 07080, USA
SO Future Virology (2008), 3(6), 553-566
CODEN: FVUIAM; ISSN: 1746-0794
PB Future Medicine Ltd.
DT Journal; General Review
LA English
IT 114522-16-6, KP 1212
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside analog KP-1212 induce lethal mutagenesis by modulating viral replication fidelity and may be useful as antiviral strategy for targeting RNA virus)
RN 114522-16-6 HCPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of antiviral and anti-cancer chemotherapeutic hydrophobic
prodrugs of bases, nucleosides, and nucleotides
GI



AB The present invention provides as well as methods of using the prodrugs as agents. The preparation of chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides I, wherein a is either 0 or 1; b is 0 or 1; R1 is a (un)substituted furanoside; R2 can be =O, (un)substituted amino, or (un)substituted ethers; R3 can be H, halo, ethers, nitrile, or (un)substituted alkyl; R4 can be H, halo, (un)substituted alkyl, ether, etc.; R5 can be H, ether, halo, (un)substituted cycloalkyl, acyl, aryl or the like is presented. Thus, II was prepared and tested as antiviral and anti-cancer chemotherapeutic hydrophobic prodrugs (no data). Further, I can be successfully employed, but not limited to treating HIV-1, cancers such as breast, ovarian or colon neoplasms, or leukemia.

AN 2008:1222421 HCAPLUS <<LOGINID::20090601>>

DN 149:448685

TI Preparation of antiviral and anti-cancer chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri; Sologub, Dina; Harris, Kevin

PA Koronis Pharmaceuticals, Incorporation, USA

SO U.S. Pat. Appl. Publ., 27pp., Cont.-in-part of U.S. Ser. No. 816,161.

CODEN: USXXCO

DT Patent

LA English

FAN, CNT 2

| PATENT NO. | | KIND | DATE | APPLICATION NO. | DATE |
|------------|-----------------|------|----------|-----------------|----------|
| PI | US 20080249097 | A1 | 20081009 | US 2006-616646 | 20061227 |
| | US 20050014752 | A1 | 20050120 | US 2004-816161 | 20040331 |
| | US 7244732 | B2 | 20070717 | | |
| | US 20070219200 | A1 | 20070920 | US 2007-749008 | 20070515 |
| PRAI | US 2003-480037P | P | 20030620 | | |
| | US 2004-816161 | 22 | 20040331 | | |

US 2004-818181
06 MARRAT 148:44868E

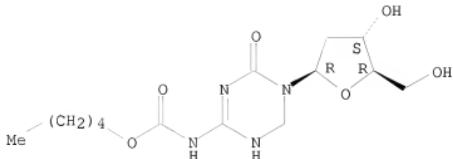
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| CS | MAREPA1 | 1497448665 | |
| IT | 815588-83-1P | 815588-84-2P | 815588-85-3P |
| | 815588-86-4P | 815588-87-5P | 815588-88-6P |
| | 815588-89-7P | 815588-90-0P | 815588-91-1P |
| | 1067910-76-2P | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral and anti-cancer activities of chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides)

RN 815588-83-1 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, pentyl ester (9CI) (CA INDEX NAME)

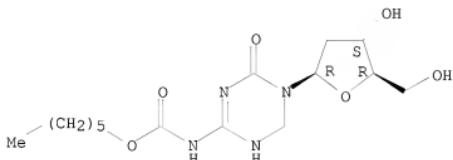
Absolute stereochemistry.



RN 815588-84-2 HCPLUS

CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexyl ester (9CI) (CA INDEX NAME)

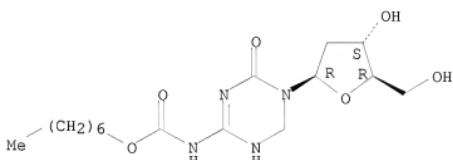
Absolute stereochemistry.



RN 815588-85-3 HCPLUS

CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptyl ester (9CI) (CA INDEX NAME)

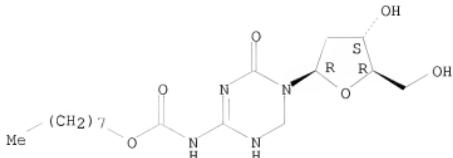
Absolute stereochemistry.



RN 815588-86-4 HCPLUS

CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, octyl ester (9CI) (CA INDEX NAME)

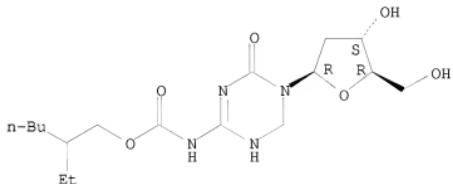
Absolute stereochemistry.



RN 815588-87-5 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, 2-ethylhexyl ester (9CI) (CA INDEX NAME)

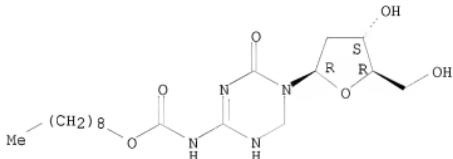
Absolute stereochemistry.



RN 815588-88-6 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, nonyl ester (9CI) (CA INDEX NAME)

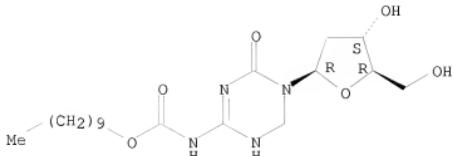
Absolute stereochemistry.



RN 815588-89-7 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, decyl ester (9CI) (CA INDEX NAME)

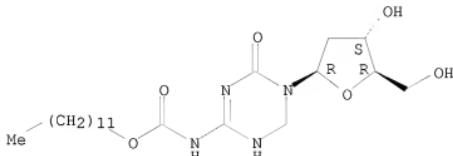
Absolute stereochemistry.



RN 815588-90-0 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl], dodecyl ester (9CI) (CA INDEX NAME)

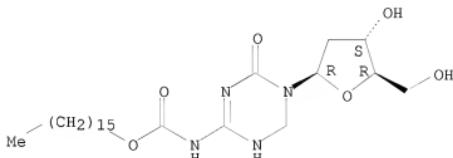
Absolute stereochemistry.



RN 815588-91-1 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexadecyl ester (9CI) (CA INDEX NAME)

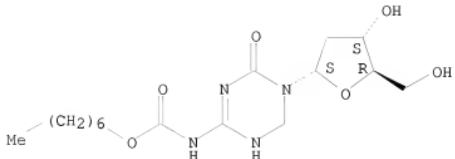
Absolute stereochemistry.



RN 1067910-76-2 HCAPLUS

CN 100510-00-2 hecibos
CN Carbamic acid, N-[5-(2-deoxy- α -D-erythro-pentofuranosyl)-3,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptyl ester (CA INDEX NAME)

Absolute stereochemistry.



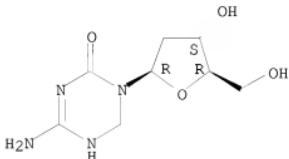
IT 114522-16-6 114522-17-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antiviral and anti-cancer activities of chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides)

RN 114522-16-6 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

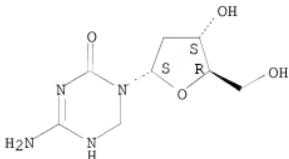
Absolute stereochemistry.



RN 114522-17-7 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- α -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

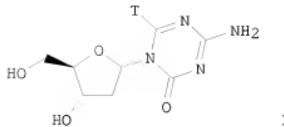
Absolute stereochemistry.



L6 ANSWER 4 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of α -5-Aza-2'-deoxy-[6-3H]cytidine

GI



AB α -5-Aza-2'-deoxy cytidine was labeled by tritium on the C-6 of the heterocyclic triazine ring. The structure of the α -5-aza-2'-deoxy-[6-3H]cytidine I and the position of the label was proved by 3 H and 1 H NMR. The specific activity was 0.71 TBq mmol $^{-1}$ (19.2 Ci mmol $^{-1}$) and radio-chemical purity was >99%. The long term stability of the product during the storage at -21 and -72 °C was followed by radio-HPLC.

AN 2008:947751 HCPLUS <<LOGINID::20090601>>

DN 150:398827

TI Preparation of α -5-Aza-2'-deoxy-[6-3H]cytidine

AU Elbert, Tomas; Cerny, Bohuslav

CS Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 16610/6, Czech Rep.

SO Collection of Czechoslovak Chemical Communications (2008), 73(5), 701-704

CODEN: CCCCAK; ISSN: 0010-0765

PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DT Journal

LA English

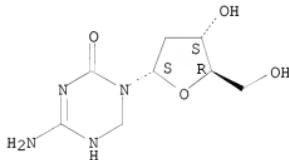
IT 114522-17-7P 1140527-40-7P

RL: BYP (Byproduct); PREP (Preparation)
(preparation of α -5-aza-2'-deoxy-[6-3H]cytidine from
 α -5-aza-2'-deoxycytidine)

RN 114522-17-7 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- α -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

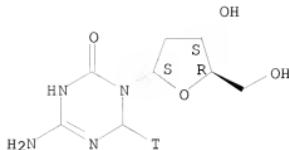
Absolute stereochemistry.



RN 1140527-40-7 HCPLUS

CN 1,3,5-Triazin-2(1H)-one-6-t, 4-amino-1-(2-deoxy- α -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN

TI Antiretroviral combination therapy

AB The present invention provides combinations comprising a viral maturation inhibitor and another therapeutically effective pharmaceutical agent. The invention is also directed to methods of treating a viral infection by administering such combinations. Thus, tablet was prepared containing lamivudine 100 mg, potassium clavulanate 62.5 mg, magnesium stearate 17.5 mg, citric acid anhydrous 48.0 mg, sodium bicarbonate 62.5 mg, silica gel desiccant 37.5 mg, PVP crosslinked dried 72 mg and microcryst. cellulose 150 mg.

AN 2008:191501 HCPLUS <>LOGINID::20090601>>

DN 148:222045

TI Antiretroviral combination therapy

IN Allaway, Graham; Kilgore, Nicole; Wild, Carl T.

PA Panacos Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 53pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

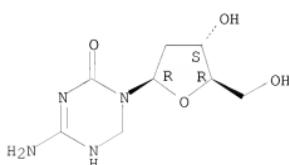
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-----------------|----------|
| PI US 20080039428 | A1 | 20080214 | US 2007-822032 | 20070629 |
| PRAI US 2006-817067P | P | 20060629 | | |
| IT 114522-16-6 | | | | |

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KP 1212; antiretroviral combination therapy)

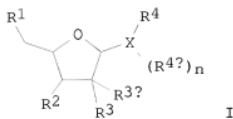
RN 114522-16-6 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The present invention provides mutagenic nucleosides I, wherein R1 and R2 are members independently selected from H and OR5, wherein R5 is H, alkyl, acyl, heteroalkyl, aryl, substituted phosphate; R3 and R3a are independently selected from H, OR6, and halogen, wherein R6 is H, alkyl, heteroalkyl; X is N, CH, C-alkyl, C-heteroalkyl, C-hydroxyl, C-halogen, S, O; R4 is substituted amide, substituted pyrimidine, substituted triazole heterocycle; R4a is H, halogen, Hydroxyl, alkyl, heteroalkyl, CHO, substituted amide, CN, were prepared (no data) as antiviral and anti-cancer chemotherapeutic agents. The antiviral agent is a member selected from the group consisting of nucleoside/nucleotide reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, protease inhibitors, fusion inhibitors, integrate inhibitors, entry inhibitors, maturation inhibitors, and immune-based therapeutic agents.

AN 2008:40003 HCAPLUS <>LOGINID:20090601>>

DN 148:79270

TI Preparation of mutagenic nucleosides as antiviral and antitumor
chemotherapeutic agents

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri

PA Koronis Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 31pp., Cont.-in-part of U.S. Ser. No. 579,751.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|-----------|----------|-----------------|----------|
| PI | US 20080009496 | A1 | 20080110 | US 2006-616713 | 20061227 |
| WO | 2005065150 | A2 | 20050721 | WO 2004-US41555 | 20041210 |
| WO | 2005065150 | A3 | 20070802 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA | | | | |
| PRAI | US 2003-530934P | P | 20031219 | | |
| | WO 2004-US41555 | W | 20041210 | | |
| | US 2006-579751 | A2 | 20061107 | | |
| OS | MARPAT | 148:79270 | | | |

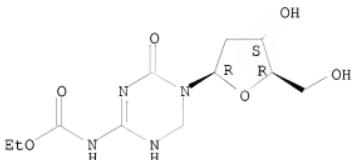
IT 1010101-66-2

RL: PRPH (Prophetic); RCT (Reactant); RACT (Reactant or reagent)
(preparation of mutagenic nucleosides as antiviral and antitumor
chemotherapeutic agents)

RN 1010101-66-2 HCAPLUS

CN Carbamic acid, N-[5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-6-oxo-1,3,5-triazin-2-yl]-, ethyl ester (CA INDEX NAME)

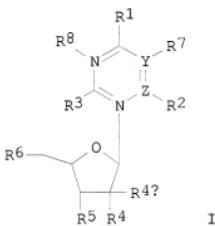
Absolute stereochemistry.



L6 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

II Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof

GI



AB The invention discloses a genus of nucleoside or nucleotide analogs I, wherein Y = C, CH, N; Z = C, CH, B; R1 = H, acyl, OR9, SR9, substituted sec-amino, NHNNH2, O, :NR9; R9 is H, alkyl, acyl, heterocalkyl, aryl; R2 = absent, H, acyl, alkyl, halogen, O, substituted O, substituted N; R3 = H, acyl, alkyl, substituted sec-amino, substituted oxime, substituted S, O, substituted O; R4, R4a = H, halo, OMe, OH; R5, R6 = H, OR14 (R14 = H, (un)substituted alkyl); R7, R8 = absent, H, acyl, alkyl; R1R8 together with the atom to which they are attached form cycloalkyl, heterocycloalkyl; were prepared for use as antiviral agents. In another aspect, the nucleoside and nucleotide analogs I are used to treat a viral disease by administering a therapeutically effective amount of I to patient with a viral disease which is caused by an RNA virus, a DNA virus, a retrovirus, or HIV. Thus, 2'-deoxy-5,6-dihydro-5-azacytidine palmitate was prepared and was tested *in vitro* and in rats and dogs as antiviral

agent.

AN 2007:993619 HCAPLUS <<LOGINID::20090601>>

DN 147:315014

TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri

PA Koronis Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 55pp., Cont.-in-part of U.S. Ser. No. 670,915.
CODEN: USXXCO

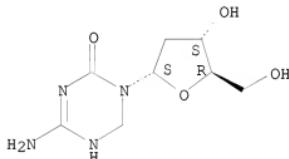
DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | US 20070207973 | A1 | 20070906 | US 2006-616693 | 20061227 |
| | US 20040127436 | A1 | 20040701 | US 2003-670915 | 20030924 |
| | US 20070142310 | A1 | 20070621 | US 2007-671964 | 20070206 |
| PRAI | US 2002-413337P | P | 20020924 | | |
| | US 2003-670915 | A2 | 20030924 | | |
| OS | MARPAT 147:315014 | | | | |
| IT | 114522-17-7 | | | | |
| | RL: PRPH (Prophetic) (Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof) | | | | |
| RN | 114522-17-7 HCAPLUS | | | | |
| CN | 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- α -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME) | | | | |

Absolute stereochemistry.

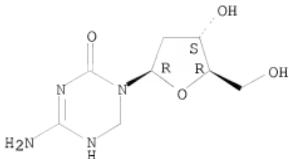


IT 114522-16-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 114522-16-6 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



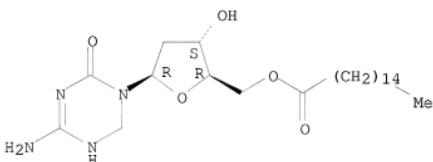
IT 676607-98-0P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 676607-98-0 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-(1-oxohexadecyl)-beta-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



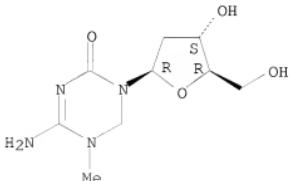
IT 676607-96-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 676607-96-0 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-beta-D-erythro-pentofuranosyl)-5,6-dihydro-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



TI Trans-differentiation of fibroblasts into hematopoietic and endothelial cells using demethylating agents, and cell therapy applications
AB The present provides methods for affecting and/or altering the differentiation state of a cell. In certain embodiments, the present invention provides methods to transdifferentiate a cell into an endothelial cell or a hematopoietic cell. In the practice of the invention, a demethylating agent (e.g., 5-azacytidine) is used to affect and/or alter the differentiation state of a cell. The invention demonstrates the transdifferentiation of numerous cell types, including cell populations that are themselves somewhat differentiated (e.g., normal fibroblasts) into distinct cell types, including hematopoietic cells and endothelial cells, which transdifferentiation is effected further through the selection of particular growth factors which, together with the demethylating agents, directs the differentiation path. The invention provides a novel approach to providing useful cell types for many types of medical applications (e.g., transplantation or cell therapy).

AN 2007150677 HCAPLUS <<LOGINID::20090601>>

DN 146:201596

TI Trans-differentiation of fibroblasts into hematopoietic and endothelial cells using demethylating agents, and cell therapy applications

IN Estrov, Zeev; Strassman, Gideon

PA Board of Regents, The University of Texas System, USA

SO PCT Int. Appl., 49pp.

CODEN: PIXXD2

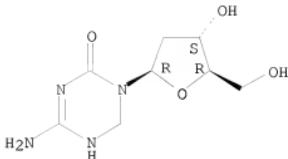
DT Patent

LA English

FAN.CNT 1

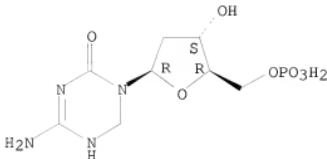
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2007016037 | A2 | 20070208 | WO 2006-US28701 | 20060724 |
| WO 2007016037 | A3 | 20070614 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JE, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| PRAI US 2005-702749P | P | 20050727 | | |
| US 2005-729708P | P | 20051024 | | |
| US 2005-734864P | P | 20051109 | | |
| IT 114522-16-6 | | | | |
| RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) | | | | |
| (demethylating agent; trans-differentiation of fibroblasts into hematopoietic and endothelial cells using demethylating agents, and cell therapy applications) | | | | |
| RN 114522-16-6 HCAPLUS | | | | |
| CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- | | | (CA INDEX NAME) | |

Absolute stereochemistry.



- L6 ANSWER 9 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
 TI Physical Nature of Interactions within the Active Site of
 Cytosine-5-methyltransferase
 AB The phys. nature of interactions within the active site of
 cytosine-5-methyltransferase (CMT) was studied using a
 variation-perturbation energy decomposition scheme defining a sequence of
 approx. intermol. interaction energy models. These models have been used
 to analyze the catalytic activity of residues constituting
 cytosine-5-methyltransferase active site as well their role in the binding
 group of de novo designed inhibitors. Our results indicate that Glu119,
 Arg163, and Arg165 appear to play the dominant role in stabilizing the
 protonated transition state structure and their influence can be equal.
 approximated by electrostatic interactions alone. The stabilization of
 neutral structures of the alternative reaction pathway is small, which
 might suggest the protonated pathway as preferred by the enzyme. Exchange
 and delocalization terms are negligible in most cases, or they cancel each
 other to some extent. Interactions of inhibitors with the CMT active site
 are dominated by electrostatic multipole contributions in analogy with
 previously studied transition state analog inhibitors of leucyl
 aminopeptidase.
 AN 2006:64429 HCPLUS <>LOGINID::20090601>>
 DN 144:307309
 TI Physical Nature of Interactions within the Active Site of
 Cytosine-5-methyltransferase
 AU Forde, Gareth K.; Kedzierski, Pawel; Sokalski, W. Andrzej; Forde, Aviane
 E.; Hill, Glake A.; Leszczynski, Jerzy
 CS Computational Center for Molecular Structure and Interactions, Jackson
 State University, Jackson, MS, 392171, USA
 SO Journal of Physical Chemistry A (2006), 110(6), 2308-2313
 CODEN: JPCAFH; ISSN: 1089-5639
 PB American Chemical Society
 DT Journal
 LA English
 IT 879506-82-8
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
 (Biological study)
 (electrostatic interactions associated with active site residues Glu119,
 Arg163, and Arg165 have critical role in stabilizing protonated transition
 state structure of Hhal)
 RN 879506-82-8 HCPLUS
 CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-5-O-phosphono- β -D-erythro-
 pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

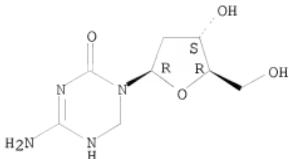
Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
- TI Mechanism of action of a novel viral mutagenic covert nucleotide: molecular interactions with HIV-1 reverse transcriptase and host cell DNA polymerases
- AB A novel non-chain terminating nucleoside analog anti-HIV inhibitor, KP-1212 has been designed to form base pairs with multiple bases that may lead to mutagenesis in the HIV-1 viral genome. After multiple replication cycles, the accumulation of mutations surpasses a crucial threshold beyond which the virus can no longer replicate. HIV-1 reverse transcriptase (RT) incorporates the KP-1212 monophosphate into the genome during viral replication after metabolic activation of the KP-1212 nucleoside to the triphosphate. The propensity for forming alternate base pairs with the KP-1212 nucleotide leads to mismatched nucleotides and the subsequent misincorporation is the basis for the inhibitory activity. The results showed that HIV-1 RT and human mitochondrial DNA polymerase (Pol γ) incorporated KP-1212-TP with a significant level of efficiency, whereas mouse DNA polymerase β (Pol β) did not. Misincorporation studies suggest that both HIV-1 RT and Pol γ may cause mutations at significantly high rates. These *in vitro* data confirm the mechanistic basis of KP-1212 as a viral mutagen but suggest that there may be a potential for toxicity to the mitochondria.
- AN 2005:512844 HCAPLUS <<LOGINID::20090601>>
- DN 143:259549
- TI Mechanism of action of a novel viral mutagenic covert nucleotide: molecular interactions with HIV-1 reverse transcriptase and host cell DNA polymerases
- AU Murakami, Eisuke; Basavapathruni, Aravind; Bradley, William D.; Anderson, Karen S.
- CS Department of Pharmacology, Yale University School of Medicine, New Haven, CT, 06520-8066, USA
- SO Antiviral Research (2005), 67(1), 10-17
- CODEN: ARSRDR; ISSN: 0166-3542
- PB Elsevier B.V.
- DT Journal
- LA English
- IT 114522-16-6, KP 1212
- RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (mechanism of action of antiviral mutagenic KP-1212)
- RN 114522-16-6 HCAPLUS
- CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

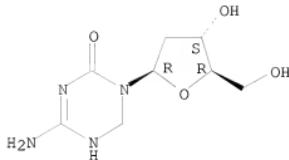
Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
 TI KP-1212/1461, a nucleoside designed for the treatment of HIV by viral mutagenesis
 AB We report the activities of a novel nucleoside analog against HIV. This nucleoside (KP-1212) is not a chain terminator but exerts its antiviral effects via mutagenesis of the viral genome. Serial passaging of HIV in the presence of KP-1212 causes an increase in the mutation rate of the virus leading to viral ablation. HIV strains resistant to KP-1212 have not yet been isolated. Quite to the contrary, virus treated with KP-1212 exhibited an increased sensitivity not only to KP-1212 but also to another nucleoside reverse transcriptase inhibitor (NRTI), zidovudine. HIV strains resistant to other NRTIs (e.g. zidovudine, lamivudine, stavudine, abacavir, etc.) exhibited no cross-resistance towards KP-1212. Multiple assays confirmed that KP-1212 has a favorable (low) genotoxicity profile when compared to some approved antiviral nucleosides. In addition, KP-1212 is not toxic to mitochondria nor does it exhibit any inhibitory effects on mitochondrial DNA synthesis.
 AN 2005:512843 HCAPLUS <<LOGINID:::20090601>>
 DN 143:259548
 TI KP-1212/1461, a nucleoside designed for the treatment of HIV by viral mutagenesis
 AU Harris, Kevin S.; Brabant, William; Styrchak, Sheila; Gall, Alexander; Daifuku, Richard
 CS Koronis Pharmaceuticals Inc., Redmond, WA, 98052, USA
 SO Antiviral Research (2005), 67(1), 1-9
 CODEN: ARSRDR; ISSN: 0166-3542
 PB Elsevier B.V.
 DT Journal
 LA English
 IT 114522-16-6, KP 1212
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (KP-1212/1461 for treatment of HIV by viral mutagenesis)
 RN 114522-16-6 HCAPLUS
 CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
 TI Prodrugs of heteroaryl compounds for the treatment of viral infection and cancer
 AB The invention provides hydrophobic prodrugs of bases, nucleosides, and nucleotides, as well as methods of using the prodrugs as antiviral and anticancer chemotherapeutic agents. Preparation of e.g. N4-nonyloxycarbonyl-β-2'-deoxy-5,6-dihydro-5-azacytidine is included.
 AN 2004:1156447 HCAPLUS <>LOGINID::20090601>>
 DN 142:86692
 TI Prodrugs of heteroaryl compounds for the treatment of viral infection and cancer
 IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri; Sologub, Dina; Harris, Kevin
 PA Koronis Pharmaceuticals, Incorporated, USA
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2004112716 | A2 | 20041229 | WO 2004-US19520 | 20040618 |
| WO 2004112716 | A3 | 20050210 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RM: BN, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004249245 | A1 | 20041229 | AU 2004-249245 | 20040618 |
| CA 2529500 | A1 | 20041229 | CA 2004-2529500 | 20040618 |
| EP 1635836 | A2 | 20060322 | EP 2004-755606 | 20040618 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2007523860 | T | 20070823 | JP 2006-517393 | 20040618 |
| PRAI US 2003-480037P | P | 20030620 | | |
| WO 2004-US19520 | W | 20040618 | | |
| OS MARPAT 142:86692 | | | | |
| IT 815588-83-1P 815588-84-2P 815588-85-3P | | | | |
| 815588-86-4P 815588-87-5P 815588-88-6P | | | | |
| 815588-89-7P 815588-90-0P 815588-91-1P | | | | |

815588-92-2P

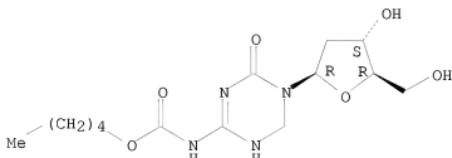
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hydrophobic prodrugs of bases, nucleosides, and nucleotides for treatment of viral infection and cancer)

RN 815588-83-1 HCPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, pentyl ester (9CI) (CA INDEX NAME)

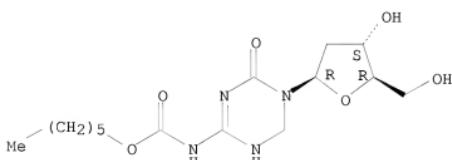
Absolute stereochemistry.



RN 815588-84-2 HCPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexyl ester (9CI) (CA INDEX NAME)

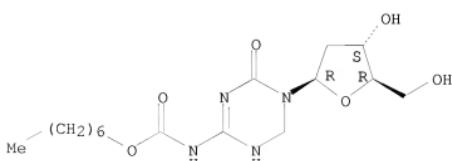
Absolute stereochemistry.



RN 815588-85-3 HCPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptyl ester (9CI) (CA INDEX NAME)

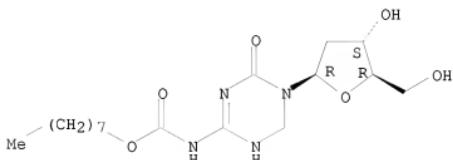
Absolute stereochemistry.



RN 815588-86-4 HCPLUS

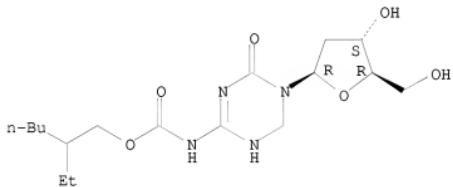
CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



BN 815588-87-5 HCAPLUS

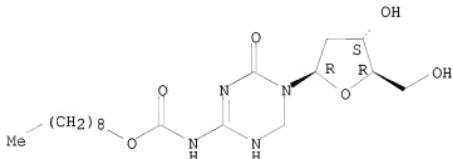
Absolute stereochemistry.



RN 815588-88-6 HCPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, nonyl ester (9CI) (CA INDEX NAME)

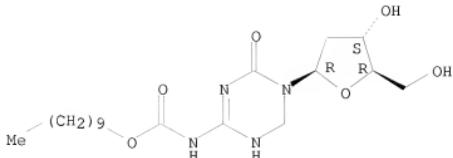
Absolute stereochemistry.



BN 815588-89-7 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, decyl ester (9CI) (CA INDEX NAME)

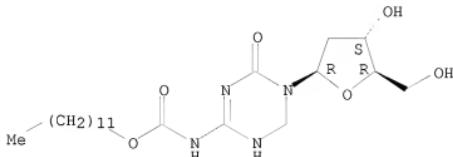
Absolute stereochemistry.



RN 815588-90-0 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, dodecyl ester (9CI) (CA INDEX NAME)

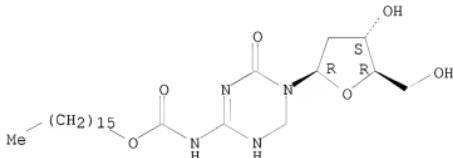
Absolute stereochemistry.



RN 815588-91-1 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexadecyl ester (9CI) (CA INDEX NAME)

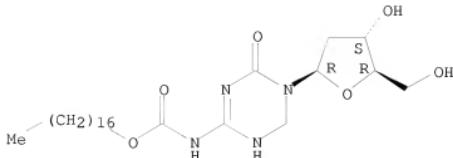
Absolute stereochemistry.



RN 815588-92-2 HCAPLUS

CN Carbamic acid, [5-(2-deoxy- β -D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



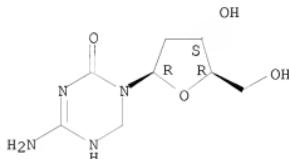
IT 114522-16-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrophobic prodrugs of bases, nucleosides, and nucleotides for treatment of viral infection and cancer)

RN 114522-16-6 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders

AB Methods and compns. of identifying candidate compds., for modulating fat metabolism and/or inhibiting Apobec-1 activity are provided. The invention relates to compds. and pharmaceutical compns. which are useful for regulating fat metabolism and can be used for treatment of diseases and disorders selected from the group consisting of overweight, obesity, atherosclerosis, hypertension, non-insulin dependent diabetes mellitus, pancreatitis, hypercholesterolemia, hypertriglyceridemia, hyperlipidemia.

AN 2004:368857 HCAPLUS <>LOGINID::20090601>>

DN 140:386000

TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders

IN Gaudriault, Georges; Kilinc, Ahmet; Bousquet, Olivier; Goupil-Lamy, Anne; Harosh, Itzik

PA Obetherapy Biotechnology, Fr.

SO PCT Int. Appl., 461 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND

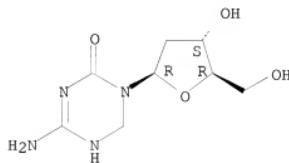
DATE

APPLICATION NO.

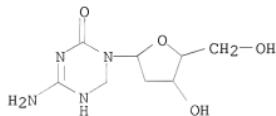
DATE

| | | | | | |
|------|---|----|----------|----------------|----------|
| PI | WO 2004037159 | A2 | 20040506 | WO 2003-IL860 | 20031023 |
| | WO 2004037159 | A3 | 20040715 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2003274652 | A1 | 20040513 | AU 2003-274652 | 20031023 |
| PRAI | US 2002-420316P | P | 20021023 | | |
| | WO 2003-IL860 | W | 20031023 | | |
| OS | MARPAT 140:386000 | | | | |
| IT | 114522-16-6 686299-66-1D, stereoisomers | | | | |
| | RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | |
| | (compds., compns. and methods for modulating fat metabolism for treatment of metabolic disorders) | | | | |
| RN | 114522-16-6 HCPLUS | | | | |
| CN | 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxypentofuranosyl)-3,6-dihydro- pentofuranosyl)-3,6-dihydro- (CA INDEX NAME) | | | | |

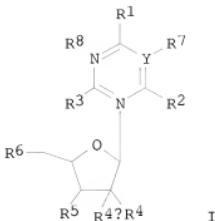
Absolute stereochemistry.



RN 686299-66-1 HCPLUS
 CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxypentofuranosyl)-3,6-dihydro-
 (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
 TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide
 analogs, and preparation thereof
 GI



AB The invention discloses a genus of nucleoside or nucleotide analogs I [Y=C, CH, N; Z=C, CH₂; R1=H, acyl, NHHH₂, etc; R2=absent, H, acyl, etc; R3=H, acyl, (un)substituted alkyl, etc.; R4, R4a=H, halo, OMe, OH; R5, R6=H, OR14 (R14= H, (un)substituted alkyl, etc.); R7,R8=absent, H, acyl, etc.] for use as antiviral agents. In a first aspect, there is provided a compound according to Formula I as shown. In another aspect, the nucleoside and nucleotide analogs according to Formula I are used to treat a viral disease by administrating a therapeutically effective amount of a compound of Formula I to patient with a viral disease which is caused by an RNA virus, a DNA virus, a retrovirus, or HIV. Preparation of selected analogs is described.

AN 2004:290464 HCPLUS <<LOGINID::20090601>>

DN 140:297477

TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri

PA Koronis Pharmaceuticals, Incorporated, USA

SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2004028454 | A2 | 20040408 | WO 2003-US30200 | 20030924 |
| WO 2004028454 | A3 | 20041118 | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2499036 | A1 | 20040408 | CA 2003-2499036 | 20030924 |
| AU 2003278904 | A1 | 20040419 | AU 2003-278904 | 20030924 |
| EP 1545558 | A2 | 20050629 | EP 2003-770420 | 20030924 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006507255 | T | 20060302 | JP 2004-539890 | 20030924 |
| PRAI US 2002-413337P | P | 20020924 | | |

WO 2003-US30200

W 20030924

OS MARPAT 140:297477

IT 114522-16-6P

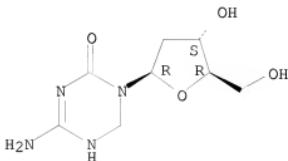
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 114522-16-6 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



IT 676607-98-0P

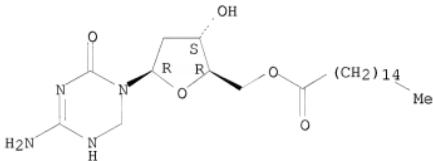
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 676607-98-0 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-(1-oxohexadecyl)- β -D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



IT 676607-96-8P

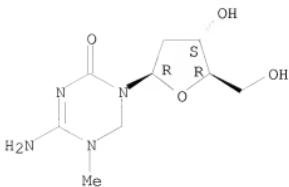
RL: SPN (Synthetic preparation); PREP (Preparation)

(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 676607-96-8 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-5,6-dihydro-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 15 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
- TI Synthesis of N4-alkyl-5-azacytidines and their base-pairing with carbamoylguanidines - a contribution to explanation of the mutagenicity of 2'-deoxy-5-azacytidine
- AB The high biol. activity of 5-azacytidine (I) and 2'-deoxy-5-azacytidine (II) is based on their structural and conformational resemblance with cytidine and 2'-deoxycytidine, which enables their incorporation into nucleic acids and subsequent covalent interaction of the reactive double bond in the 5,6 position of the 1,3,5-triazine ring with regulatory proteins. The CD spectra of N4-substituted 5-azacytidines indicate an anti conformation around the C-N glycosyl bond of these nucleosides similarly to unsubstituted 5-azacytidine. However, substitution of hydrogen atoms on the amino group of 5-azacytidine by the bulky alkyl groups prevents (predominantly because of steric hindrance) their incorporation into nucleic acids. This is probably the main reason for the low biol. activity in comparison with the N4-methyl-5-azacytidines and especially with the unsubstituted 5-azacytidine I. The formation of aggregates of carbamoylguanidines or their protonated forms with 5-azacytosine or cytosine, which represent in fact models for the base-pairing ability of carbamoylguanidine incorporated into DNA, is in agreement with the observed C:G-G:C transversion caused by 2'-deoxy-5-azacytidine II. The C:G-T:A transition, which was also observed in the mutational spectrum of II, could be explained by methylation at N-5 of 5-azacytosine-containing DNA and subsequent transformation to 5,6-dihydro-S-azathymine-containing DNA. This idea is supported by the microbial production of 5,6-dihydro- 5-azathymidine (III) and by a more recent investigation of Gabbara and Bhagwat, who have documented methylation at N-5 of 5-azacytosine-containing DNA. The formation of the stable dihydro derivative III has not been taken into consideration in any of the earlier studies on the mechanism of inhibition of DNA methylase by 2'-deoxy-5-azacytidine II.
- AN 2003:277528 HCPLUS <<LOGINID::20090601>>
- DN 139:149866
- TI Synthesis of N4-alkyl-5-azacytidines and their base-pairing with carbamoylguanidines - a contribution to explanation of the mutagenicity of 2'-deoxy-5-azacytidine
- AU Piskala, Alois; Hanna, Naeem B.; Masojidkova, Milena; Otmar, Miroslav; Fiedler, Pavel; Ubik, Karel
- CS Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166 10/6, Czech Rep.
- SO Collection of Czechoslovak Chemical Communications (2003), 68(4), 711-743
CODEN: CCCCXK; ISSN: 0010-0765
- PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
- DT Journal
- LA English

OS CASREACT 139:149866

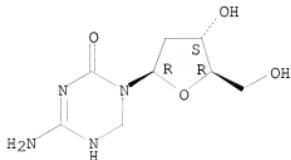
IT 114522-16-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of alkylazacytidines and their basepairing with
carbamoylguanidines contribution to explanation of mutagenicity of
deoxyazacytidine)

RN 114522-16-6 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-
pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



IT 570410-75-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of alkylazacytidines and their basepairing with
carbamoylguanidines contribution to explanation of mutagenicity of
deoxyazacytidine)

RN 570410-75-2 HCPLUS

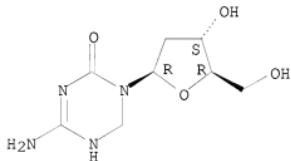
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-
pentofuranosyl)-3,6-dihydro-, compd. with
4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-1,3,5-triazin-2(1H)-
one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 114522-16-6

CMF C8 H14 N4 O4

Absolute stereochemistry.

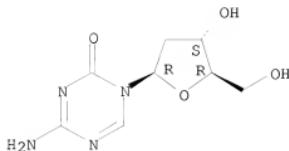


CM 2

CRN 2353-33-5

CMF C8 H12 N4 O4

Absolute stereochemistry.



RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
 TI Biochemical pharmacology and DNA methylation studies of arabinosyl 5-azacytidine and 5,6-dihydro-5-azacytidine in two human leukemia cell lines PER-145 and PER-163
 AB 1- β -D-Arabinofuranosyl-5-azacytosine (ara-AC) and 5,6-dihydro-5-azacytidine (DHAC) are two new antitumor agents under clin. investigations, which exhibit the chemical similarities found in the tumoricidal drug cytosine arabinoside (ara-C) and the nitrogen substitution in the 5 position of the pyrimidine ring found in 5-azacytidine (5-aza-C). The cellular anabolism of ara-AC and DHAC and their effect on DNA methylation have been examined in two new human leukemia cell lines, which are sensitive (PER-145) and resistant (PER-163) to ara-C. The triphosphate anabolite of ara-AC, ara-ACTP, was the major cellular anabolite in the cellular exts. of the PER-145 cells, reaching a cellular saturation concentration of 64.1 μ M using 25 μ M of the drug. Only trace levels of ara-ACTP were detected in the PER-163 cell line, which lacks deoxycytidine kinase, after exposure to a similar concentration. Notably, after 1 mM, the ara-ACTP concentration averaged 12 μ M. DHAC was anabolized by both cell lines to a similar degree but required much higher nucleoside concns. (100 μ M or higher) to achieve similar cellular concns. of its triphosphate, DHACTP. Although the deoxy derivative, DHAdCTP, was detected in both cell lines, it was detected at 1-2 log₁₀ lower concns. than DHACTP. DNA methylation studies showed that DHAC had a profound effect in inducing DNA hypomethylation in both cell lines, with nadir values of 27.3 and 29.2% of control. Ara-AC induced 45% DNA hypomethylation in PER-145 cells, but did not alter the DNA methylation pattern in PER-163 cells, except when they were exposed to 1 mM of the drug for 24 h. These results could be explained by the differential biochem. activation of these drugs in the human leukemia cell lines.
 AN 1995:550185 HCAPLUS <>LOGINID::20090601>>
 DN 123:25321
 OREF 123:4480h,4481a
 TI Biochemical pharmacology and DNA methylation studies of arabinosyl 5-azacytidine and 5,6-dihydro-5-azacytidine in two human leukemia cell lines PER-145 and PER-163
 AU Kees, Ursula R.; Avramis, Vassilios I.
 CS Inst. Child Health Res., Princess Margaret Hosp., West Perth, Australia
 SO Anti-Cancer Drugs (1995), 6(2), 303-10
 CODEN: ANIDEV; ISSN: 0959-4973
 PB Rapid Science Publishers
 DT Journal
 LA English
 IT 122277-00-3, DHAdCTP
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study);

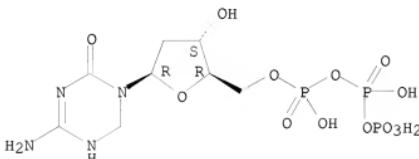
FORM (Formation, nonpreparative)

(biochem, pharmacol. and DNA methylation studies of arabinosyl azacytidine and dihydroazacytidine in sensitive and resistant human leukemia cells)

RN 122277-00-3 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-[hydroxy[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]- β -D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 17 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN

TI Polarographic reduction and potential carcinogenicity of synthetic 1,3,5-triazine bases and nucleosides

AB DC polarog. parameters were measured for a series of 15 synthetic 5-aza compds. derived from cytosine, cytidine, uracil and uridine in nonaq. (dimethylformamide) solns. The substances in aprotic media are reduced in a single two-electron step at the mercury drop electrode, except for 5,6-dihydro derivs. of 5-azauracil and 5-azauridine which are reduced in two steps. α -Lipoic acid was added to the solns. of the substances, and the slopes tg α of the plots of diffusion current of the substances vs. α -lipoic acid concentration, which can serve as an index of potential carcinogenic activity of the substances measured, were determined. The tg α values of all the compds. studied are low as compared to related substances whose carcinogenic activity has been proved. 5-Azacytidine and 5-azauracil are exceptions exhibiting tg α values of 0.295 and 0.400, resp. For the former compound, this is consistent with the WHO classification as "probably carcinogenic to humans".

AN 1994:570013 HCPLUS <<LOGINID::20090601>>

DN 121:170013

OREF 121:30587a,30590a

TI Polarographic reduction and potential carcinogenicity of synthetic 1,3,5-triazine bases and nucleosides

AU Novotny, Ladislav; Vachalkova, Anna; Piskala, Alois

CS Cancer Research Institute, Slovak Academy Sciences, Bratislava, 812 32, Slovakia

SO Collection of Czechoslovak Chemical Communications (1994), 59(7), 1691-8
CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LA English

IT 114522-16-6, 2'-Deoxy-5,6-Dihydro-5-azacytidine

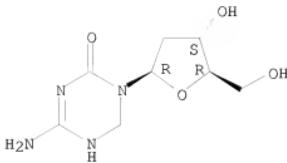
RL: PRP (Properties)

(polarog. reduction potential of, carcinogenicity in relation to)

RN 114522-16-6 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
 TI Formation of triple helix complexes of single stranded nucleic acids using oligonucleotides
 AB Triplex helix structure with a specific segment of single-stranded nucleic acid can be formed with 1st and 2nd oligomers comprised of nucleosidyl units linked by internucleosidyl phosphorus linkages . The 1st oligomer is sufficiently complementary to the target segment to form duplex and the 2nd oligomer has ≥ 7 nucleotidyl units that are sufficiently complementary to hybridize with the duplex to form triplex. Upon formation of the triple helix the nucleic acids of interest may be detected and its function or expression prevented. The 1st and 2nd oligomers may comprise an oligonucleotide, an alkyl- or aryl-phosphonothioate oligomer, or other analogs, e.g. methylphosphonate oligomers. They may also contain uncharged neutral oligomers and purine or pyrimidine analogs, e.g., 2'-O-Me-pseudoisocytidine, 6-Se-guanine, or 6-isopropylidene-7-deaza-guanidine. One of applications of this method is to inhibit *in vivo* synthesis of a protein by targeting its mRNA, which can be used for treatment of diseases, e.g. viral infections and cancers.
 AN 1993:575369 HCAPLUS <>LOGINID::20090601>>
 DN 119:175369
 OREF 119:31207a,31210a
 TI Formation of triple helix complexes of single stranded nucleic acids using oligonucleotides
 IN Ts'0, Paul Or Pong; Adams, Thomas Henry; Arnold, Lyle J., Jr.
 PA Johns Hopkins University, USA; Genta Inc.
 SO PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------------------------|------|----------|---|----------|
| PI | WO 9307295 | A1 | 19930415 | WO 1992-US8458 | 19921005 |
| | W: AU, CA, FI, JP, KR, NO, RU | | | GB, GR, IE, IT, LU, MC, NL, SE | |
| | RW: AT, BE, CH, DE, DK, ES, FR, | | | AU 1992-27852 | 19921005 |
| AU | 9227852 | A | 19930503 | JP 1992-507113 | 19921005 |
| JP | 07501936 | T | 19950302 | EP 1992-921942 | 19921005 |
| EP | 650526 | A1 | 19950503 | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | |
| | US 5834185 | A | 19981110 | US 1994-342647 | 19941121 |
| AU | 9724881 | A | 19970904 | AU 1997-24881 | 19970613 |
| PRAI | US 1991-772081 | A | 19911007 | | |
| | US 1986-924234 | B2 | 19861028 | | |
| | US 1989-368027 | B2 | 19890619 | | |
| | WO 1992-US8458 | A | 19921005 | | |
| | US 1992-978937 | B1 | 19921118 | | |
| | US 1994-194731 | B1 | 19940210 | | |

IT 114522-16-6

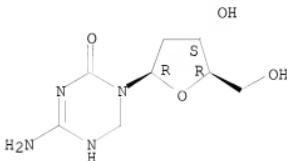
RL: USES (Uses)

(oligonucleotide containing, diagnosis or inhibition of nucleic acid function by triple helix formation with)

RN 114522-16-6 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

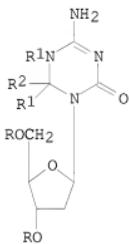


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 2'-deoxy-5,6-dihydro-5-azacytidine as a new 2'-deoxycytidine analog

GI



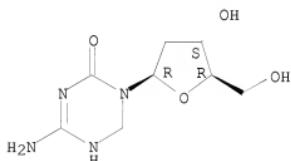
AB The title compound (I; R = R1 = R2 = H) (II) a new 2'-deoxycytidine analog having a N atom as an isoelectronic replacement for the CH group in the position 5, was prepared by reduction of (un)protected 2'-deoxy-5-azacytidine I (R = H, acyl; R1R1= bond, R2 = H) by 5-10 equiv Zn in an anhydrous Cl-4 carboxylic acid, e.g. AcOH, at room temperature followed by deprotection (when appropriate) and/or neutralization by a nontoxic (in)organic acid. When R = acyl, the reduction was carried out in the presence of an excess MeC(OMe)2Me. Thus, a mixture of AcOH and MeC(OMe)2Me was allowed to stand for 24 h at room temperature and treated with Zn powder and then with 2'-deoxy-3',5'-di-O-p-toloyl-5-azacytidine. The whole was stirred vigorously for 2.5 h at the ambient temperature to give 76% of the 5,6-dihydro

intermediate isolated as an acetate. This in MeOH was stirred 24 h at ambient temperature with 1M MeONa in MeOH to give 84% II which was converted to II.HOAc (90%).

AN 1990:631939 HCPLUS <>LOGINID::20090601>>
 DN 113:231939
 OREF 113:39156n,39157a
 TI Preparation of 2'-deoxy-5,6-dihydro-5-azacytidine as a new 2'-deoxycytidine analog
 IN Piskala, Alois; Cesnekova, Barbara; Vesely, Jiri
 PA Czech.
 SO Czech., 5 pp.
 CODEN: CZXXA9
 DT Patent
 LA Czech
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| PI CS 264454 | B1 | 19890814 | CS 1987-6304 | 19870828 |
| PRAI CS 1987-6304 | | 19870828 | | |
| OS MARPAT 113:231939 | | | | |
| IT 130530-59-5P | | | | |
| RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) | | | | |
| RN 130530-59-5 HCPLUS | | | | |
| CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro-, acetate (9CI) (CA INDEX NAME) | | | | |
| CM 1 | | | | |
| CRN 114522-16-6 | | | | |
| CMF C8 H14 N4 O4 | | | | |

Absolute stereochemistry.



CM 2

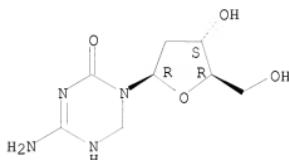
CRN 64-19-7
 CMF C2 H4 O2



IT 114522-16-6P, 2'-Deoxy-5,6-dihydro-5-azacytidine
 RL: SPN (Synthetic preparation); PREP (Preparation)

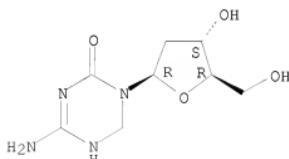
(preparation of, by reduction of deoxyazacytidine)
RN 114522-16-6 HCPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 20 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
TI Synthesis of oligonucleotides containing 5,6-dihydro-5-azacytosine and 5-azacytosine at specific CpG sites
AB A symposium communication on the quant. conversion of dihydro-5-azacytosine (5-DHAC) to 5-azacytosine (5-AC) in a dihydro-5-azacytidine/thymidine dimer (5-DHACpT). This newly developed procedure allows similar possibilities with longer, 5-DHAC-modified oligodeoxynucleotides.
AN 1990:99111 HCPLUS <>LOGINID::20090601>>
DN 112:99111
oref 112:16875a,16878a
TI Synthesis of oligonucleotides containing 5,6-dihydro-5-azacytosine and 5-azacytosine at specific CpG sites
AU Goddard, Amanda J.; Marquez, Victor E.
CS Lab. Med. Chem., Natl. Cancer Inst., Bethesda, MD, 20892, USA
SO Nucleosides & Nucleotides (1989), Volume Date 1988, 8(5-6), 1015-18
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
IT 114522-16-6
RL: PROC (Process)
(conversion of, to azacytosine)
RN 114522-16-6 HCPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



TI Cellular metabolism of 5,6-dihydro-5-azacytidine and its incorporation into DNA and RNA of human lymphoid cells CEM/O and CEM/dCk(-)

AB 5,6-Dihydro-5-azacytidine (DHAC) is a hydrolytically stable analog of 5-azacytidine (5-aza-C) that has antileukemic activity against exptl. leukemias and, like 5-aza-C, causes DNA hypomethylation. The authors report the cellular metabolism of DHAC and its incorporation into nucleic acids in the CCRF/CEM/O and deoxycytidine kinase mutant CCRF/CEM/dCk(-) human lymphoid cell lines. The major anabolite of [³H]DHAC, [³H]DHAdCTP, peaked at 110.3 μ M in CEM/O and at 96.3 μ M in CEM/dCk(-) cells at 9 and 12 h, resp. The intracellular concns. of the deoxyribonucleoside triphosphate, [³H]DHAdCTP, peaked at 13.5 μ M at 4 h in CEM/O and at 80.8 μ M at 12 h, a 6-fold greater cellular concentration, in the dCk mutant cell line. The amount of DHAC anabolites incorporated into CEM/O nucleic acids reached a plateau in RNA at 552.6 pmol/10⁷ cells and in DNA at 64.55 pmol/10⁷ cells. In CEM/dCk(-) cells, DHAC anabolites reached a plateau in RNA and DNA at 4,256.3 and 395.5 pmol/10⁷ cells, resp. Thus, with equitoxic treatments of DHAC, the incorporation of its analog anabolites into RNA and DNA was 8- and 6-fold greater in CEM/dCk(-) cells. DNA methylation levels were depressed equally despite a 6-fold greater incorporation of the analog in DNA in the CEM/dCk(-) cells, indicating that hypomethylation may be saturated after DHAC treatment. The DNA methylation levels reached a nadir of 0.19% and 0.20% methyl-C (percentage of methylation) in the two cell lines at 6 and 12 h after the beginning of drug treatment and remained relatively constant for the duration of the 24-h treatment. A curvilinear relationship was obtained between the DNA methylation levels in both cell lines and the amts. of DHAC anabolite incorporated into DNA.

AN 1989:489722 HCPLUS <<LOGINID::20090601>>

DN 111:89722

OREP 111:14893a,14896a

TI Cellular metabolism of 5,6-dihydro-5-azacytidine and its incorporation into DNA and RNA of human lymphoid cells CEM/O and CEM/dCk(-)

AU Avramis, Vassilios I.; Powell, William C.; Mecum, Robert A.

CS Sch. Med., Univ. South. California, Los Angeles, CA, 90027, USA

SO Cancer Chemotherapy and Pharmacology (1989), 24(3), 155-60

CODEN: CCPHDZ; ISSN: 0344-5704

DT Journal

LA English

IT 122277-00-3, DHAdCTP

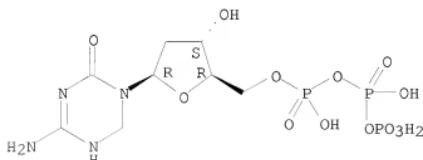
RL: FORM (Formation, nonpreparative)

(formation of, as dihydroazacytidine metabolite in leukemia cells of humans, nucleic acid formation and methylation in relation to)

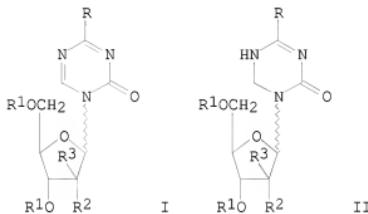
RN 122277-00-3 HCPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]- β -D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 22 OF 22 HCPLUS COPYRIGHT 2009 ACS on STN
TI Preparation and biological activity of 5,6-dihydro-5-azapyrimidine
nucleosides
GI



AB The reaction of 5-azapyrimidine nucleosides I (R = NH₂, R₁ = R₃ = H, R₂ = OH, β -anomer; R = NH₂, R₁ = R₃ = H, α - or β -anomer; R = R₂ = OH, R₁ = R₃ = H, β -anomer; etc., 9 compds.) with zinc powder in AcOH afforded the resp. 5,6-dihydro derivs. II in high yields. This procedure represents a convenient and general method for preparation of the title compds. The effects of some dihydro-5-azapyrimidine nucleosides on the growth *in vitro* of L1210 mouse leukemic cells were estimated

AN 1988:423285 HCPLUS <<LOGINID::20090601>>

DN 109:23285

OREF 109:3997a, 4000a

TI Preparation and biological activity of 5,6-dihydro-5-azapyrimidine nucleosides

AU Piskala, Alois; Cesneкова, Barbara; Vesely, Jiri

CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.

SO Nucleic Acids Symposium Series (1987), 18(Symp. Chem. Nucleic Acid Compon., 7th, 1987), 57-60

CODEN: NACSD8; ISSN: 0261-3166

DT Journal

LA English

OS CASREACT 109:23285

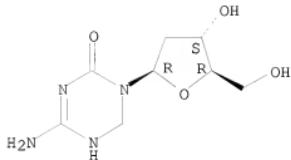
IT 114522-16-6P 114522-17-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 114522-16-6 HCPLUS

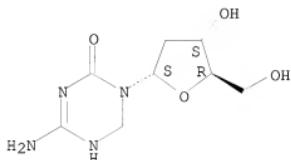
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- β -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



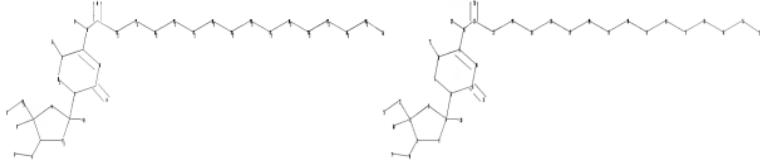
RN 114522-17-7 HCAPLUS
 CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy- α -D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



<http://www.cas.org/support/stndgen/stndoc/properties.html>

=>
 Uploading C:\Program Files\STNEXP\Queries\10670915amended3.str



chain nodes :
 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32
 33 34 35 36 37 38
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11
 chain bonds :
 1-14 2-12 2-18 4-6 4-20 8-17 9-16 11-13 12-15 14-19 16-21 16-22 22-23
 22-38 23-24 24-25 25-26 26-27 27-28 28-29 29-30 30-31 31-32 32-33 33-34
 34-35 35-36
 36-37

ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 1-14 2-3 3-4 4-5 4-6 6-7 6-11 7-8 8-9 9-10 9-16 10-11 11-13
16-22 22-38
exact bonds :
2-12 2-18 4-20 8-17 12-15 14-19 16-21 22-23 23-24 24-25 25-26 26-27
27-28
28-29 29-30 30-31 31-32 32-33 33-34 34-35 35-36 36-37

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS

L7 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 67 TO ITERATE

100.0% PROCESSED 67 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 849 TO 1831
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

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L7 HAS NO ANSWERS
L7 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 16:23:09 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

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